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NOVEL COSMETIC DERMATOLOGICAL COMPOSITIONS AND PROCESS FOR PRODUCING SAME

The present invention relates to the field of cosmetic dermatology, and in particular applies to the care of the skin and connective tissue.

It relates more particularly to novel cosmetic dermatological compositions intended to be applied to the skin and presented in a form that enables the active principle or principles to permeate the skin in order to act on the underlying connective tissue.

Specifically, the subject of the invention is novel cosmetic dermatological compositions characterized in that they are formed of small-sized, unilaminar liposomes which contain in the external membrane phospholipids and one or more phytosterols, and in the internal aqueous phase a solution or a suspension of hydrophilic active principles of the organosilicon type, alone or associated with active principles from the vegetable kingdom, dispersed in the hydrolipid fluid vehicle.

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The technique of encapsulating active principles in the form of liposomes plays an important part in the research for new methods of administering active principles, both in the therapeutic field and in the field of cosmetic dermatology. In fact, despite the difficulties of implementing this production technique, liposomes have proven capable of carrying active substances in a finely dispersed form.

However, in spite of more than ten years of studies, this method of presentation has resulted in only a small number of concrete applications, since the production technique results in a major problem, the coalescence of the liposomes, which impairs their preservation and tends, through agglomeration, to give them a larger and larger size until they are destroyed.

To eliminate this drawback, technicians have attempted to increase the solidity of the external layer, either by giving it an electrical charge, or by reinforcing it with a second or with a plurality of monomolecular layers. This has resulted in the

appearance of plurilaminar liposomes, which are presumed to have greater stability. In reality, this production technique has run up against other problems, and has not achieved the anticipated result. In particular, the hardening of the external membrane of the liposome has the effect of impeding the diffusion of the active principle contained therein, thus making this method of embodiment of no real interest.

On the other hand, liposomes of very small size could have additional advantages and ensure greater diffusion. In reality, their stability and their preservation is more limited, and they do not solve the technical problem.

The object of the present invention is to provide a solution to the problem posed by the technical difficulties arising from the need for a presentation in the form of stable liposomes. The technical solution discovered is characterized in that it produces small-sized liposomes whose dimensions are between 20 and 150 nm, and measured in terms of gaussian distribution, are usually 120 nm (standard deviation ± 55).

In comparison, other commercially available liposomes for cosmetological use, whose size was controlled using the same methods, have in one category a diameter of 425 ± 249 nm, and in another category 181 ± 85 nm. Thus, greater irregularity in the size of the particles is apparent. Moreover, it is important to note that in bimodal analysis, the liposomes according to the invention have a great degree of homogeneity in size since the population at 60 nm (120 - 55 nm) represents more than 99% of the total population, and the population at 180 nm and up (120 + 55 nm) represents only 1% of the total population.

Furthermore, artificial aging studies have shown that the size of the liposomes according to the invention, while initially growing at a regular rate from 20 to 50 nm over several weeks,

subsequently stabilize and remain stable for at least 6 months.

The solution used to solve the technical problem of liposome stability and easy diffusibility of the active ingredients according to the invention therefore constitutes substantial progress, which definitely contributes to the improvement of methods for treating the dermis.

This problem was solved by using, as the unilaminar membrane, phospholipids reinforced by phytosterols such as stigmasterol, campesterol, the asiaticoside found in the extract of Centella asiatica, or the nonsaponifiable matter of certain oils, such as the nonsaponifiable matter of soy or avocado.

The aqueous phase of the liposomes contains an alkylate derivative of silanol, and in particular a salt of monomethyl trisilanol such as, for example, the mannuronate sold under the trademark Algisium or the lactate of monomethyl trisilanol sold under the trademark Lasilium.

According to the invention, these compositions are present in the aqueous phase in concentrations ranging from 2 to 10% of the total mass and preferably from 2.5 to 5%.

The aqueous phase can also contain other active principles from vegetable sources such as, for example, preparations that are rich in tannins like hydrastis or hamamelis, active principles from vegetable sources such as caffeine or flavonoids or flavonoid derivatives, a vegetal extract of echinacea whose rhizome, which is rich in essential oil, acts by stimulating cell growth, amino acids which play the role of nutritive factors, polyphenols such as extract of teasel, which capture free radicals that cause skin aging phenomena, or polysaccharides such as hyaluronic acid.

It is also possible to add vitamin factors such as

tocopherols, vitamin F, and pantothenic acid or panthenol.

The gelling or thickening agent that maintains the liposomes in suspension in the aqueous dispersing medium can be a derivative of cellulose or a polymer or copolymer of acrylic acid or methacrylic acid. Among these, it is preferable to choose an acrylic/methacrylic copolymer sold under the trademark Carbopol 940, Carbopol 941, Carbopol 942 or Carbopol 1342.

The liquid phase in which the liposomes are dispersed can be a water-in-oil emulsion for forming a milk, or a viscous suspension or even a gel formed by the solution of a thickening or gelling agent in water.

The aqueous dispersing vehicle can also be water-in-oil type emulsion in which water or an aqueous medium is dispersed in a liquid or liquified fatty substance. It is also possible to use a dispersion of a fatty substance in an aqueous medium, with or without the addition of a surfactant. A typical example is the concentrated preparation sold under the trademark Emulzome, constituted by a mixture of equal weights of a liquid fatty substance and an aqueous medium. These emulsions can then be diluted with water or with aqueous gels in order to adjust the viscosity, such as distilled flower waters like rose water or lavender water.

These emulsions can also contain preservatives, stabilizers or antioxidants, which prevent their rancidification or their photochemical decomposition.

The phospholipids are well-known substances. The most frequently used are phosphatidyl choline, phosphatidic acid, phosphatidyl serine, phosphatidyl ethanolamine, phosphatidyl glycerol, etc. The principal sources of phospholipids are egg yolk, soy oil, or lecithin.

The compositions according to the invention apply to the field of cosmetic dermatology, which means that they are used to alleviate esthetic defects linked to an alteration of the dermis, as in the treatment of wrinkles, the prevention or reduction of stretch marks, or the attenuation of scars. They can also prove beneficial to health by reducing manifestations of cellulite and swelling of the legs linked to problems with return circulation. They can also improve the appearance by favorably affecting symptoms linked to the existence of full or sore breasts.

The compositions according to the invention, depending on the degree of viscosity, exist in the form of milks, gels or creams that are applied by being massaged onto the place whose appearance needs to be improved (face, bust, legs or abdomen).

The applications will occur 1 to 4 times per day, using several ml of the composition in the palm of the hand.

The following examples illustrate the invention, but they do not limit it in any way.

To produce the liposomes, a solution of a phospholipid from a natural source is prepared by dissolving 20 g of lecithin in octanol. A solution of extract of Centella asiatica is added to the octanol. The two solutions are mixed, then evaporated to dryness under high vacuum at 65°C. The resulting film is placed back in suspension in an aqueous medium containing a gelling agent and a preservative by vigorous agitation, preferably using a magnetic agitator in order to place the particles that remain stuck to the walls of the receptacle back in suspension.

The suspension is then added to an equal volume of Emulzome containing lactate of methyltrisilanol and subjected to ultrasound for 15 minutes in an ultrasound machine in a water bath. It is then centrifuged at 2500 rpm for 10 minutes to eliminate the remaining

non-dispersed materials.

The resulting milk of fluid consistency is diluted with 25 ml of rose water. After homogenization, it is distributed into flexible bottles for use.

These liposomes can also be obtained using French's press extrusion method.

They comprise, in their membranes, liposoluble phytosterols of Centella asiatica, and in the center of the spherule, hydrophilic Lasilium.

The stability of the membrane is linked to its rigidity in order to prevent membrane fusion phenomena.

Likewise, membrane permeability is reduced by the phytosterols in order to prevent the leakage of the active principle, the Lasilium, to the outside of the liposome.

CLAIMS

The subject of the invention is:

1. Novel cosmetic dermatological compositions characterized in that they are formed of small-sized unilaminar liposomes whose external membrane is formed of phospholipids and phytosterols and whose internal aqueous phase is formed of a solution or a suspension of hydrophilic active principles of the organosilicon type, alone or associated with other active principles from the vegetable kingdom, dispersed in a hydrolipid fluid vehicle.

2. The cosmetic dermatological compositions according to claim 1 in which the liposomes have a size between 20 and 150 nm.

3. The cosmetic dermatological compositions according to either of claims 1 or 2, in which the size of the liposomes is determined so as to maintain complete stability.

4. The cosmetic dermatological compositions according to any of claims 1 through 3, in which the phytosterol is chosen from the group constituted by campesterol and stigmasterol.

5. The cosmetic dermatological compositions according to any of claims 1 through 4, in which the internal phase of the liposomes contains lactate of monomethyl trisilanol as a hydrophilic active principle of the organosilicon type.

6. The cosmetic dermatological compositions according to any of claims 1 through 5, in which the aqueous phase of the liposomes also contains an active principle from a vegetable source chosen from the group constituted by tannins, flavonoids, caffeine, extracts of echinacea, amino acids, polyphenols and polysaccharides.

7. The cosmetic dermatological compositions according to any of claims 1 through 6, in which the hydrolipid vehicle is a water-in-oil emulsion.

8. The cosmetic dermatological compositions according to claim 7, in which a thickening or gelling agent is added to the hydrolipid vehicle.

9. The application of the cosmetic dermatological compositions according to any of claims 1 through 8 for the purpose of alleviating the esthetic defects linked to an alteration of the dermis.